## CLAIMS

## \1. A compound represented by the formula (I)

$$W = \begin{pmatrix} OR_1 \\ OR_1 \\ OR_2 \\ OR_1 \end{pmatrix}$$

$$(I)$$

wherein R stands for a lower alkyl group optionally substituted by hydroxyl group which may be substituted, X stands for an optionally substituted carbamoyl group or an optionally substituted heterocyclic group having a deprotonatable hydrogen atom, R<sub>1</sub> stands for a lower alkyl group and W stands for a halogen atom, or a salt thereof.

- 2. The compound as claimed in claim 1, wherein R is  $C_{1-6}$  alkyl which may have 1 to 3 substituents selected from the group consisting of hydroxyl, acetyloxy, propionyloxy, t-butoxycarbonyloxy, palmitoyloxy, dimethylaminoacetyloxy and 2-aminopropionyloxy.
- 3. The compound as claimed in claim 1, wherein R is  $C_{3-6}$  branched alkyl which has 1 to 3 substituents selected from the group consisting of hydroxyl, acetyloxy, propionyloxy, t-butoxycarbonyloxy, palmitoyloxy, dimethylaminoacetyloxy and 2-aminopropionyloxy.
- 4. The compound as claimed in claim 1, wherein R is 2,2-dimethyl-3-hydroxypropyl, 3-hydroxy-2-hydroxymethyl-2-methylpropyl, 3-acetoxy-2,2-dimethylpropyl, 3-acetoxy-2-hydroxymethyl-2-methylpropyl or 3-acetoxy-2-acetoxymethyl-2-methylpropyl.
- 5. The compound as claimed in claim 1, wherein  $R_1$  is methyl.
- 6. The compound as claimed in claim 1, wherein W is

chlorine atom.

7. The compound as claimed in claim 1, wherein X is a carbamoyl group represented by the formula

wherein R<sub>2</sub> and R<sub>3</sub> are independently

- (i) hydrogen,
- (ii) optionally substituted hydrocarbon group,
- (iii) optionally substituted heterocyclic group,
  or
  - (iv) acyl group

or  $R_2$  and  $R_3$  may form an optionally substituted 5 to 6 membered ring together with the adjacent nitrogen atom, said ring may contain 1 to 4 hetero atoms selected from nitrogen, oxygen and sulfur in addition to said nitrogen atom.

- 8. The compound as claimed in claim 7, wherein  $R_2$  is hydrogen or  $C_{1-7}$  alkyl,  $R_3$  is
- (1) a hydrocarbon group selected from the group consisting of
  - (a)  $C_{1-7}$  alkyl,
  - (b)  $C_{3-7}$  cycloalkyl,
  - (c)  $C_{2-6}$  alkenyl,
  - (d)  $C_{6-10}$  aryl and
  - (e)  $C_{6-10}$  aryl- $C_{1-4}$  alkyl,

wherein each of said groups (a), (b) and (c) may have 1 to 4 substituents selected from the group consisting of

- (i) carboxyl which may be esterified with  $C_{1-6}$  alkyl or  $C_{6-10}$  aryl- $C_{1-4}$  alkyl,
- (ii) phosphono group which may be mono- or disubstituted by  $C_{1-6}$  alkyl or  $C_{2-7}$  alkanoyloxy- $C_{1-6}$  alkyl,
- (iii) sulfo group,
- (iv) sulfonamido which may be substituted by C1.6

alkyl or C<sub>6-10</sub> aryl-C<sub>1-4</sub> alkyl,

- (v) hydroxyl group which may be alkylated with  $C_{1-3}$  alkyl,
- (vi) sulfhydryl group which may be alkylated with  $C_{1-3}$  alkyl,
- (vii) carbamoyl,
- (viii) phenyl which may have 1 to 5 substituents selected from the group consisting of hydroxy, chlorine, fluorine, aminosulfonyl and amino which may be mono or di-substituted by  $C_{1-3}$  alkyl,
- (ix) amino which may be mono- or di-substituted by  $C_{1-3}$  alkyl,
- (x) cyclic amino group selected from the group consisting of piperidyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, piperazinyl, 4-methylpiperazinyl, 4-benzylpiperazinyl, 4-phenylpiperazinyl, 1,2,3,4-tetrahydroisoquinolinly and phthalimido, each of said group may be substituted by  $C_{1-3}$  alkyl, benzyl or phenyl and (xi) 5- to 6-membered heterocyclic group selected from the group consisting of pydinyl, imidazolyl, indolyl and tetrazolyl,

, and each of said group (d) and (e) may have 1 to 4 substituents selected from the group consisting of

- (i) carboxyl which may be esterified by C1-4 alkyl,
- (ii) phosphono which may be mono- or disubstituted by  $C_{1-6}$  alkyl or  $C_{2-7}$  alkanoyloxy- $C_{1-6}$  alkyl,
- (iii) sulfo,
- (iv)  $C_{1-4}$  alkylsulfonyl,  $C_{6-10}$  arylsulfonyl or  $C_{6-10}$  aryl- $C_{1-4}$  alkylsulfonyl,
- (v) sulfonamido which may be substituted by  $C_{1-6}$  alkyl or  $C_{6-10}$  aryl- $C_{1-4}$  alkyl,
- (vi)  $C_{1-3}$  alkyl group which may be substituted by carboxyl group optionally esterified with  $C_{1-4}$

alkyl, phosphono which may be mono- or disubstituted by  $C_{1-6}$  alkyl, sulfo, sulfonamido which may be substituted by  $C_{1-6}$  alkyl or  $C_{6-10}$  aryl- $C_{1-4}$  alkyl and

## (v) halogen,

- (2) a heterocyclic group selected from the group consisting of tetrazolyl, 4,5-dihydro-5-oxo-1,2,4-oxadiazolyl, 4,5-dihydro-5-thioxo-1,2,4-oxadiazolyl, 2,3-dihydro-3-oxo-1,2,4-oxadiazolyl, 2,3-dihydro-3-thioxo-1,2,4-oxadiazolyl, 3,5-dioxo-1,2,4-oxadiazolidinyl, 4,5-dihydro-5-oxo-isoxazolyl, 4,5-dihydro-5-thioxo-isoxazolyl, 2,3-dihydro-2-oxo-1,3,4-oxadiazolyl, 2,3-dihydro-3-oxo-1,2,4-tetrazolyl and 2,3-dihydro-3-thioxo-1,2,4-tetrazolyl,
  - (3) an acyl group selected from the group consisting of
    - (i)  $C_{2-7}$  alkanoyl which may be substituted by 1 to 2 halogen atoms,
    - (ii) C<sub>6-10</sub> arylsulfonyl,
    - (iii)  $C_{1-4}$  alkylsulfonyl, and
    - (iv)  $C_{6-10}$  aryl- $C_{1-4}$  alkylsulfonyl,

each of said group (ii), (iii) and (iv) may have 1 to 4 substituents selected from the group consisting of  $C_{1-3}$  alkyl,  $C_{1-3}$  alkoxy and halogen,

- or  $R_2$  and  $R_3$  together with adjacent nitrogen form a 5-or 6- membered cyclic amino selected from the group consisting of piperazinyl, piperidyl, pyrrolidinyl, 2-oxo-piperazinyl, 2,6-dioxopiperazinyl, morpholinyl and thiomorpholinyl, each of said group may have 1 to 4 substituents selected from the group consisting of
- (A) hydroxyl which may be substituted with  $C_{1-3}$  alkyl or  $C_{2-7}$  alkanoyl,
- (B) carboxyl which may be substituted with  $C_{1-6}$  alkyl or  $C_{6-10}$  aryl- $C_{1-4}$  alkyl,
- (C) phosphono which may be mono- or di-substituted by  $C_{1-6}$  alkyl or  $C_{2-7}$  alkanoyloxy- $C_{1-6}$  alkyl,

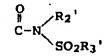
- (D) sulfo,
- (E) sulfonamido which may be substituted with  $C_{1-6}$  alkyl or  $C_{6-10}$  aryl- $C_{1-4}$  alkyl,
- (F)  $C_{1-6}$  alkyl or  $C_{2-5}$  alkenyl which may be substituted by
  - (i) carboxyl group which may be esterified with  $C_{1-6}$  alkyl or  $C_{6-10}$  aryl- $C_{1-4}$  alkyl,
  - (ii) phosphono group which may be mono- or disubstituted by  $C_{1-6}$  alkyl or  $C_{2-7}$  alkanoyloxy- $C_{1-6}$  alkyl,
  - (iii) sulfo group,
  - (iv) sulfonamido which may be substituted by  $C_{1-6}$  alkyl or  $C_{6-10}$  aryl- $C_{1-4}$  alkyl,
  - (v) hydroxyl group which may be alkylated with  $C_{1-3}$  alkyl or  $C_{2-7}$  alkanoyl,
  - (vi) sulfhydryl group which may be alkylated with  $C_{1-3}$  alkyl,
  - (vii) carbamoyl,
  - (viii) phenyl which may have 1 to 5 substituents selected from the group consisting of hydroxy, halogen, aminosulfonyl and amino which may be substituted with  $C_{1-3}$  alkyl and
  - (ix) amino which may be mono- or di-substituted by  $C_{1-3}$  alkyl, or
  - (x) tetrazolyl,
- (G) amino which may be mono- or di-substituted with  $C_{1-3}$  alkyl,
- (H) cyclic amino group selected from the group consisting of piperidyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, 4-methylpiperazinyl, 4-benzylpiperazinyl and 4-phenylpiperazinyl,
  - (I) cyano,
  - (J) carbamoyl,
  - (K) oxo,
  - (L) heterocyclic group selected from tetrazolyl and

- 2,5-dihydro-5-oxo-1,2,4-oxazoly1,
- (M) carbamoyl substituted with  $C_{1-4}$  alkylsulfonyl,  $C_{6-10}$  arylsulfonyl or  $C_{6-10}$  aryl- $C_{1-4}$  alkylsulfonyl,
- (N) sulfhydryl which may be alkylated with  $C_{1-3}$  alkyl and
- (0) phenyl which may have 1 to 5 substituents selected from hydroxyl, halogen, aminosulfonyl and amino which may be substituted with  $C_{1-3}$  alkyl.
- 9. The compound as claimed in claim 7, wherein  $R_2$  and  $R_3$  together with the adjacent nitrogen of the carbamoyl form a 5 to 6-membered ring selected from the group consisting of 1-piperazinyl, piperidino, 1-pyrrolidinyl, 2-oxo-1-piperazinyl and 2,6-dioxo-1-piperazinyl, each of the said group may have 1 to 2 substituents of  $C_{1-6}$  alkyl which may be substituted by
  - (i) carboxyl which may be esterified with  $C_{1-6}$  alkyl or  $C_{6-10}$  aryl- $C_{1-4}$  alkyl,
  - (ii) phosphono group which may be mono- or disubstituted by  $C_{1-6}$  alkyl or  $C_{2-7}$  alkanoyl- $C_{1-6}$  alkyl,
  - (iii) sulfo group,
  - (iv) sulfonamido which may be substituted by  $C_{1-6}$  alkyl or  $C_{6-10}$  aryl- $C_{1-4}$  alkyl,
  - (v) hydroxyl group which may be alkylated by  $C_{1-3}$  alkyl,
  - (vi) sulfhydryl which may be alkylated by  $C_{1-3}$  alkyl,
  - (vii) carbamoyl,
  - (viii) phenyl which may have 1 to 5 substituents selected from the group consisting of hydroxy, halogen, aminosulfonyl and amino which may be substituted with  $C_{1-3}$  alkyl,
  - (ix) amino which may be mono- or di-substituted by  $C_{1-3}$  alkyl, or
  - (x) tetrazolyl.

10. The compound as claimed in claim 7, wherein R<sub>2</sub> is hydrog n or C<sub>1-7</sub> alkyl and R<sub>3</sub> is C<sub>1-4</sub> alkylsulfonyl.

11. The compound as claimed in claim 1, wherein the heterocyclic group represented by X is tetrazolyl, 4,5-dihydro-5-oxo-1,2,4-oxadiazolyl, 4,5-dihydro-5-thioxo-1,2,4-oxadiazolyl, 2,3-dihydro-3-oxo-1,2,4-oxadiazolyl, 2,3-dihydro-3-thioxo-1,2,4-oxadiazolyl, 3,5-dioxo-1,2,4-oxadiazolidinyl, 4,5-dihydro-5-oxo-isoxazolyl, 4,5-dihydro-5-thioxo-isoxazolyl, 2,3-dihydro-2-oxo-1,3,4-oxadiazolyl, 2,3-dihydro-3-oxo-1,2,4-tetrazolyl, or 2,3-dihydro-3-thioxo-1,2,4-tetrazolyl.

12. The compound as claimed in claim 1, wherein R<sub>1</sub> is methyl, W is chlorine atom,
R is C<sub>3-6</sub> branched alkyl which has 1 to 3 substituents selected from the group consisting of hydroxyl, acetyloxy, propionyloxy, t-butoxycarbonyloxy, palmitoyloxy, dimethylaminoacetyloxy and 2-aminopropionyloxy, and X is a carbamoyl group represented by the formula



wherein  $R_2{}^\prime$  is hydrogen or  $C_{1-7}$  alkyl and  $R_3{}^\prime$  is  $C_{1-4}$  alkyl.

13. The compound as claimed in claim 1, wherein R<sub>1</sub> is methyl, W is chlorine atom,
R is C<sub>3-6</sub> branched alkyl which has 1 to 3 substituents selected from the group consisting of hydroxyl, acetyloxy, propionyloxy, t-butoxycarbonyloxy, palmitoyloxy, dimethylaminoacetyloxy and 2-aminopropionyloxy, and X is a carbamoyl group represented by the formula

wherein R' is hydrogen or  $C_{1-7}$  alkyl and n is an integer from 1 to 5.

14. The compound as claimed in claim 1, wherein R<sub>1</sub> is methyl, W is chlorine atom,
R is C<sub>3-6</sub> branched alkyl which has 1 to 3 substituents selected from the group consisting of hydroxyl, acetyloxy, propionyloxy, t-butoxycarbonyloxy, palmitoyloxy, dimethylaminoacetyloxy and 2-aminopropionyloxy, and X is a carbamoyl group represented by the formula

wherein R" is hydrogen or  $C_{1-4}$  alkyl. 15. The compound as claimed in claim 1, wherein R<sub>1</sub> is methyl, W is chlorine atom, R is  $C_{3-6}$  branched alkyl which has 1 to 3 substituents selected from the group consisting of hydroxyl, acetyloxy, propionyloxy, t-butoxycarbonyloxy, palmitoyloxy, dimethylaminoacetyloxy and 2aminopropionyloxy, and X is tetrazolyl. 16. The compound as claimed in claim 1, which is (3R,5S)-N-methanesulfonyl-7-chloro-5-(2,3dimethoxyphenyl)-1-(3-hydroxy-2,2-dimethylpropyl)-2oxo-1,2,3,5-tetrahydro-4,1-benzoxazepine-3-acetamide, (3R,5S)-N-methanesulfonyl-7-chloro-5-(2,3dimethoxyphenyl)-1-(3-hydroxy-2-hydroxymethyl-2methylpropyl)-2-oxo-1,2,3,5-tetrahydro-4,1benzoxazepine-3-acetamid, (3R,5S)-7-chloro-5-(2,3-dimethoxyphenyl)-1-(3-hydroxy-2-hydroxymethyl-2-m thylpropyl)-2-oxo-N-[2-(pyrrolidin-

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1-y1)ethyl]-1,2,3,5-tetrahydro-4,1-benzoxazepine-3-
acetamide,
 (3R,5S)-7-chloro-5-(2,3-dimethoxyphenyl)-1-(3-hydroxy-
 2,2-dimethylpropyl)-2-oxo-N-[2-(pyrrolidin-1-yl)ethyl]-
 1,2,3,5-tetrahydro-4,1-benzazepine-3-acetamide,
or a salt thereof.
17. The compound as claimed in claim 1, which is
 (3R,5S)-N-methanesulfonyl-1-(3-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy-2,2-acetoxy
dimethylpropyl)-7-chloro-5-(2,3-dimethoxyphenyl)-2-oxo-
1,2,3,5-tetrahydro-4,1-benzoxazepine-3-acetamide,
 (3R,5S)-N-methanesulfonyl-1-(3-acetoxy-2-acetoxymethyl-
2-methylpropyl)-7-chloro-5-(2,3-dimethoxyphenyl)-2-oxo-
1,2,3,5-tetrahydro-4,1-benzoxazepine-3-acetamide,
N-((3R,5S)-1-(3-acetoxy-2,2-dimethylpropyl)-7-chloro-5-
(2,3-dimethoxypheny1)-2-oxo-1,2,3,5-tetrahydro-4,1-
benzoxazepine-3-acetyl]piperidine-4-acetic acid,
N-[(3R,5S)-1-(3-acetoxy-2-acetoxymethyl-2-
methylpropyl)-7-chloro-5-(2,3-dimethoxyphenyl)-2-oxo-
1,2,3,5-tetrahydro-4,1-benzoxazepine-3-
acetyl]piperidine-4-acetic acid,
N-[(3R,5S)-1-(3-acetoxy-2,2-dimethylpropyl)-7-chloro-5-
(2,3-dimethoxyphenyl)-2-oxo-1,2,3,5-tetrahydro-4,1-
benzoxazepine-3-acetyl]piperidine-4-acetic acid ethyl
ester,
N-[(3R,5S)-1-(3-acetoxy-2-acetoxymethyl-2-
methylpropy1)-7-chloro-5-(2,3-dimethoxypheny1)-2-oxo-
1,2,3,5-tetrahydro-4,1-benzoxazepine-3-
acetyl]piperidine-4-acetic acid ethyl ester or a salt
thereof.
18. The compound as claimed in claim 1, which is
(3R,5S)-7-chloro-5-(2,3-dimethoxyphenyl)-1-(3-hydroxy-
2,2-dimethylpropyl)-1,2,3,5-tetrahydro-3-[1H(or 3H)-
tetrazol-5-yl]methyl-4,1-benzoxazepine-3-one,
(3R,5S)-7-chloro-5-(2,3-dimethoxyphenyl)-1-(3-hydroxy-
2-hydroxymethyl-2-methylpropyl)-1,2,3,5-tetrahydro-3-
[1H(or 3H)-t trazol-5-yl]methyl-4,1-benzoxazepine-3-
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one,

(3R,5S)-1-(3-acetoxy-2,2-dimethylpropyl-7-chloro-5-

(2,3-dimethoxyphenyl)-1,2,3,5-tetrahydro-3-[1H(or 3H)-tetrazol-5-yl]methyl-4,1-benzoxazepine-3-one,

(3R,5S)-1-(3-acetoxy-2-acetoxymethyl-2-methylpropyl)-7-

chloro-5-(2,3-dimethoxyphenyl)-1,2,3,5-tetrahydro-3[1H(or 3H)-tetrazol-5-yl]methyl-4,1-benzoxazepine-3-one
or a salt thereof.

19. The compound as claimed in claim 1, which is (3R,5S)-7-chloro-5-(2,3-dimethoxyphenyl)-1-neopentyl-2-oxo-N-[2-(pyrrolidin-1-yl)ethyl]-1,2,3,5-tetrahydro-

4,1-benzoxazepine-3-acetamide or a salt thereof.

20. The compound as claimed in claim 1, wherein R is a lower alkyl group which may be substituted with one or two hydroxyl groups,

X is carbamoyl group, which may have substituent(s) on the nitrogen atom of the carbamoyl group,

said substituent being

- (1) hydrocarbon selected from the group consisting of
  - (a)  $C_{1-7}$  alkyl,
  - (b) C<sub>3-7</sub> cycloaklyl,
  - (c)  $C_{2-6}$  alkenyl,
  - (d)  $C_{6-10}$  aryl and
  - (e) C<sub>7-14</sub> arylalkyl,

wherein each of said groups (a), (b) and (c) may have 1 to 4 substituents selected from the group consisting of

- (i) carboxyl which may be esterified with  $C_{1-6}$  alkyl or  $C_{7-10}$  arylalkyl,
- (ii) phosphono group,
- (iii) sulfo group,
- (iv) sulfonamido which may be substituted by  $C_{1-6}$  alkyl or  $C_{7-10}$  arylalkyl,
- (v) hydroxyl group which may be alkylated with  $C_{1-3}$  alkyl,
- (vi) sulfhydryl group which may be alkylated with

 $C_{1-3}$  alkyl,

(vii) carbamoyl,

- (viii) phenyl which may have substituent(s) selected from the group consisting of hydroxyl, chlorine, fluorine, aminosulfonyl and amino which may be mono or di-substituted by C<sub>1-3</sub> alkyl,
- (ix) amino which may be mono- or di-substituted by  $C_{1-3}$  alkyl,
- (x) cyclic amino group selected from the group consisting of piperidyl, pyrrolidinyl, morpholinyl, thiomorpholinyl, piperazinyl, 4-methylpiperazinyl, 4-benzylpiperazinyl and 4-phenylpiperazinyl, each of said group may be substituted by  $C_{1-3}$  alkyl, benzyl or phenyl and (xi) 5- to 6-membered heterocyclic group selected from the group consisting of pyridinyl, imidazolyl, indolyl and tetrazolyl,

, and each of said group (d) and (e) may have 1 to 4 substituents selected from the group consisting of

- (i) carboxyl which may be esterified by  $C_{1-4}$  alkyl,
- (ii) phosphono,
- (iii) sulfo,
- (iv) sulfonamido which may be substituted by  $C_{1-6}$  alkyl or  $C_{7-10}$  arylalkyl,
- (v)  $C_{1-3}$  alkyl group which may be substituted by carboxyl group optionally esterified with  $C_{1-4}$  alkyl, phosphono, sulfo, or sulfonamido optionally substituted with  $C_{1-6}$  alkyl or  $C_{7-10}$  arylalkyl, and (vi) halogen.
- (2) a heterocyclic group selected from the group consisting of tetrazolyl, 4,5-dihydro-5-oxo-1,2,4-oxadiazolyl, 4,5-dihydro-5-thioxo-1,2,4-oxadiazolyl, 2,3-dihydro-3-oxo-1,2,4-oxadiazolyl, 2,3-dihydro-3-thioxo-1,2,4-oxadiazolyl, 3,5-dioxo-1,2,4-oxadiazolyl, 4,5-dihydro-5-oxo-isoxazolyl, 4,5-

dihydro-5-thioxo-isoxazolyl, 2,3-dihydro-2-oxo-1,3,4-oxadiazolyl, 2,3-dihydro-3-oxo-1,2,4-tetrazolyl and 2,3-dihydro-3-thioxo-1,2,4-tetrazolyl,

- (3) an acyl group selected from the group consisting of
  - (i)  $C_{2-7}$  alkanoyl which may be substituted by 1 to 2 halogen atoms,
  - (ii)  $C_{6-10}$  arylsulfonyl,
  - (iii) C<sub>1-4</sub> alkylsulfonyl, and
  - (iv)  $C_{7-14}$  arylalkylsulfonyl,

each of said group (ii), (iii) and (iv) may have 1 to 4 substituents selected from the group consisting of  $C_{1-3}$  alkyl,  $C_{1-3}$  alkoxy and halogen or

- (4) cyclic amino carbonyl group, the cyclic amino group being selected from the group consisting of piperazinyl, piperidyl, pyrrolidinyl, 2-oxopiperazinyl, 2,6-dioxopiperazinyl, morpholinyl and thiomorpholinyl, each of said group may have 1 to 4 substituents selected from the group consisting of
  - (i) hydroxyl,
  - (ii) carboxyl optionally esterified with  $C_{1-4}$  alkyl,
  - (iii) phosphono,
  - (iv) sulfo,
  - (v) sulfonamido optionally substituted with  $C_{1-6}$  alkyl or  $C_{7-10}$  arylalkyl,
  - (vi)  $C_{1-3}$  alkyl or  $C_{2-5}$  alkenyl optionally substituted with (i), (ii), (iii), (iv) or (v) defined above,
  - (vii) amino optionally mono- or di-substituted with  $C_{1-3}$  alkyl,
  - (viii) cyclic amino group selected from the group
    consisting of piperidyl, pyrrolidinyl,
    morpholinyl, thiomorpholinyl, 4-methylpiperazinyl,
    4-benzylpiperazinyl and 4-phenylpiperazinyl,
    (ix) cyano,

- (x) carbamoyl,
- (xi) oxo,
- (xii)  $C_{1-3}$  alkoxy,
- (xiii) heterocyclic group selected from tetrazolyl and 2,5-dihydro-5-oxo-1,2,4-oxazolyl, and (xiv) carbamoyl substituted with  $C_{6-10}$  arylsulfonyl,  $C_{1-4}$  alkylsulfonyl or  $C_{7-14}$  arylalkylsulfonyl.
- 21. A composition which comprises the compound as claimed in claim 1 and a pharmaceutically acceptable carrier.
- 22. A pharmaceutical composition for inhibiting squalene synthetase, which comprises the compound as claimed in claim 1 and a pharmaceutically acceptable carrier.
- 23. A pharmaceutical composition for lowering the level of triglyceride, which comprises the compound as claimed in claim 1 and a pharmaceutically acceptable carrier.
- 24. A pharmaceutical composition for lowering the lipid-level, which comprises the compound as claimed in claim 1 and a pharmaceutically acceptable carrier.
- 25. A pharmaceutical composition for prophylaxis or therapy of hyperlipidaemia, which comprises the compound as claimed in claim 1 and a pharmaceutically acceptable carrier.
- 26. Use of the compound as claimed in claim 1 for manufacturing a pharmaceutical composition.
- 27. Use of the compound as claimed in claim 1 for manufacturing a squalene synthetase inhibitor.
- 28. Use of the compound as claimed in claim 1 for manufacturing a pharmaceutical composition for lowering the level of triglyceride.
- 29. Use of the compound as claimed in claim 1 for manufacturing a pharmaceutical composition for lowering the lipid-level.

- 30. Use of the compound as claimed in claim 1 for manufacturing a pharmaceutical composition for prophylaxis or therapy of hyperlipidaemia or coronary sclerosis.
- 31. A method for inhibiting squalene synthetase in a mammal comprising administering an effective amount of the compound as claimed in claim 1 to said mammal.
- 32. A method for lowering the level of triglyceride in a mammal comprising administering an effective amount of the compound as claimed in claim 1 to said mammal.
- 33. A method for lowering the lipid-level in a mammal comprising administering an effective amount of the compound as claimed in claim 1 to said mammal.
- 34. A method for prophylaxis or therapy of hyperlipidaemia or coronary sclerosis in a mammal comprising administering an effective amount of the compound as claimed in claim 1 to said mammal.
- 35. A process for producing the compound as claimed in claim 1, wherein X is an optionally substituted carbamoyl group, which comprises reacting a compound of the formula:

wherein the symbols are as defined in claim 1, or a salt thereof with a compound of the formula:

wherein the symbols are as d fined in claim 7, or a salt thereof.

36. The compound as claim d in claim 1, wherein R is 2,2-dimethyl-3-hydroxypropyl.